=>

Uploading C:\Program Files\Stnexp\Queries\1132.str

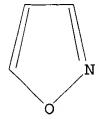
L6 STRUCTURE UPLOADED

=> d 16

L6 HAS NO ANSWERS

L6

STR



il All pines

Structure attributes must be viewed using STN Express query preparation.

=> s 16 sss sam

SAMPLE SEARCH INITIATED 15:10:21 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 4695 TO ITERATE

21.3% PROCESSED 1000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

89792 TO 98008

PROJECTED ANSWERS:

73278 TO 80718

L7 50 SEA SSS SAM L6

=> s 16 sss full

FULL SEARCH INITIATED 15:10:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 93401 TO ITERATE

100.0% PROCESSED 93401 ITERATIONS

76640 ANSWERS

50 ANSWERS

SEARCH TIME: 00.00.01

L8 76640 SEA SSS FUL L6

=> file hcaplus

=> d l10 abs ibib kwic hitstr 60, 68, 74

L10 ANSWER 60 OF 98 HCAPLUS COPYRIGHT 2004 ACS on STN GI

$$R^{2a}$$
 R^{2a}
 R^{2a}
 R^{2a}
 R^{2a}
 R^{2b}

AΒ Title compds. I [E = bond, (substituted) amino, (alkyl)thio, (alkyl) sulfinyl, (alkyl) sulfonyl), CH(OH), O, CO, K = O, S, NH, (substituted) alkylamino, etc.; X = bond, CO, O, S, NH, etc.; R1 = HO2C, HO3S, C1-4 polyfluoroalkylsulfonylamino, H2NSO2, (HO)2P(O), (substituted) heterocyclyl, etc.; R2a, R2b = H, halo, H2N, O2N, C1-4 alkyl, C1-4 alkoxy, etc.; R3a = H, halo, C1-6 alkyl, C1-6 alkoxy, etc.; R3b = H, halo, O2N, C1-6 alkyl, hydroxy-C1-4-alkyl, etc.; R6 = C1-3 alkyl, C2-5 alkenyl, C2-5 alkynyl which can be substituted, R8 = H, HO, (alkyl)(dialkyl)amino, etc.; r = 1, 2] and their salts, as angiotensin II antagonists useful in treatment of hypertension, ocular hypertension, on certain CNS disorders (no data) are prepared 5-Amino-3-butyl-1-(2-chlorophenyl)-4-[(2-tetrazol-5-ylbiphenyl-4yl)methyl]pyrazole (preparation given) in CCl4 was diazotized to give the pyrazole derivative which was heated with NaCN in DMSO to give the nitrilepyrazole which was diluted with brine and extracted with ether to give the title pyrazole II. Pharmaceutical formulations comprising II are given.

Ι

ACCESSION NUMBER: 1992:106284 HCAPLUS

DOCUMENT NUMBER: 116:106284

TITLE: Preparation of substituted pyrazoles, isoxazoles and

isothiazoles as angiotensin II antagonists

INVENTOR(S): Allen, Eric E.; Greenlee, William J.; MacCoss,

Malcolm; Ashton, Wallace T.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.
                     KIND DATE
                                       APPLICATION NO. DATE
                   ---- -----
                    A1
                           19911017
     WO 9115479
                                         WO 1991-US1952
                                                         19910327 <--
        W: CA, JP
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE
                           19911001
     CA 2079343
                      AA
                                       CA 1991-2079343 19910327 <~-
     EP 523141
                     A1
                          19930120
                                         EP 1991-907490
                                                         19910327 <--
        R: CH, DE, FR, GB, IT, LI, NL
     JP 05505822
                T2 19930826
                                         JP 1991-507326
                                                         19910327 <--
PRIORITY APPLN. INFO.:
                                      US 1990-501469
                                                         19900330
                                      WO 1991-US1952
                                                         19910327
OTHER SOURCE(S):
                       MARPAT 116:106284
PI
    WO 9115479 A1 19911017
    PATENT NO. KIND DATE
                                        APPLICATION NO. DATE
                    ----
                                         -----
                    A1 19911017 WO 1991-US1952 19910327 <--
PI
    WO 9115479
        W: CA, JP
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE
    CA 2079343
                      AΑ
                          19911001
                                        CA 1991-2079343 19910327 <--
                                         EP 1991-907490
    EP 523141
                      A1
                           19930120
                                                         19910327 <--
        R: CH, DE, FR, GB, IT, LI, NL
                      T2
                           19930826
                                         JP 1991-507326
    JP 05505822
                                                         19910327 <--
    . . . H, HO, (alkyl)(dialkyl)amino, etc.; r = 1, 2] and their salts, as
ΑB
    angiotensin II antagonists useful in treatment of hypertension,
    ocular hypertension, on certain CNS disorders (no data) are prepared
    5-Amino-3-butyl-1-(2-chlorophenyl)-4-[(2-tetrazol-5-ylbiphenyl-4-
    yl)methyl]pyrazole (preparation given) in CC14 was diazotized to give the
    pyrazole. . .
IT
    Glaucoma (disease)
       (treatment of, substituted pyrazoles, isothiazoles, and isoxazoles for)
IT
    937-12-2P
               1118-03-2P 70102-84-0P 70680-21-6P 114772-39-3P
    114772-53-1P
                 120568-11-8P 124750-51-2P
                                               124750-53-4P
                                                              138444-15-2P
    138733-39-8P 138733-40-1P 138733-41-2P
                                                138733-42-3P
    138733-43-4P
                  138733-44-5P
                                 138733-45-6P
                                                138733-46-7P
                                                              138733-47-8P
    138733-48-9P 138733-49-0P
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                                                              138762-16-0P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
    (Reactant or reagent)
       (preparation and reaction of, in preparation of angiotensin II inhibitors)
IT
    137645-69-3P
                  138531-94-9P 138717-60-9P
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    138717-77-8P 138717-78-9P 138717-79-0P
    138717-80-3P 138717-81-4P 138717-82-5P
    138717-83-6P 138717-84-7P 138717-85-8P
    138717-86-9P 138717-87-0P 138717-88-1P
    138717-89-2P 138717-90-5P 138717-91-6P
    138717-92-7P 138717-93-8P 138717-94-9P
    138717-95-0P 138717-96-1P 138717-97-2P
    138717-98-3P 138717-99-4P 138718-00-0P
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                  138718-05-5P
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IT

RN

CN

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138733-26-3P
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138733-31-0P
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                                                             138762-15-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
   (preparation of, as angiotensin II inhibitor)
138733-39-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (preparation and reaction of, in preparation of angiotensin II inhibitors)
138733-39-8 HCAPLUS
5-Isoxazolamine, 3-butyl-4-[[2'-[1-(triphenylmethyl)-1H-tetrazol-5-
```

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IT
     138717-60-9P 138717-78-9P 138717-79-0P
     138717-80-3P 138717-81-4P 138717-82-5P
     138717-83-6P 138717-84-7P 138717-85-8P
     138717-86-9P 138717-87-0P 138717-88-1P
     138717-89-2P 138717-90-5P 138717-91-6P
     138717-92-7P 138717-93-8P 138717-94-9P
     138717-95-0P 138717-96-1P 138717-97-2P
     138717-98-3P 138717-99-4P 138718-00-0P
     138718-01-1P 138718-02-2P 138718-03-3P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of, as angiotensin II inhibitor)
     138717-60-9 HCAPLUS
RN
     5-Isoxazolamine, 3-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-
CN
     yl]methyl] - (9CI) (CA INDEX NAME)
```

yl][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 138717-78-9 HCAPLUS

CN 5-Isoxazolecarboxylic acid, 3-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 138717-79-0 HCAPLUS

CN 5-Isoxazolecarboxylic acid, 4-[[2'-[(benzoylamino)sulfonyl][1,1'-biphenyl]-4-yl]methyl]-3-butyl- (9CI) (CA INDEX NAME)

RN 138717-80-3 HCAPLUS

CN 5-Isoxazolecarboxylic acid, 3-butyl-4-[[2'-[[(trifluoroacetyl)amino]sulfon yl][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 138717-81-4 HCAPLUS

CN 5-Isoxazolecarboxylic acid, 3-butyl-4-[[2'-[[(trifluoromethyl)sulfonyl]amino][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 138717-82-5 HCAPLUS

CN 5-Isoxazolecarboxylic acid, 3-butyl-4-[[2'-[[(cyclopropylcarbonyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 138717-83-6 HCAPLUS

CN 5-Isoxazolecarboxylic acid, 4-[[2'-[[(diphenylacetyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]-3-propyl- (9CI) (CA INDEX NAME)

RN 138717-84-7 HCAPLUS

CN 5-Isoxazolecarboxylic acid, 3-propyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 138717-85-8 HCAPLUS

CN 5-Isoxazolecarboxylic acid, 4-[[2'-[[(cyclopropylcarbonyl)amino]sulfonyl][
1,1'-biphenyl]-4-yl]methyl]-3-propyl- (9CI) (CA INDEX NAME)

RN 138717-86-9 HCAPLUS

CN 5-Isoxazolecarboxylic acid, 3-propyl-4-[[2'-[[(trifluoromethyl)sulfonyl]amino][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 138717-87-0 HCAPLUS

CN 5-Isoxazolecarboxamide, 3-butyl-N-(phenylsulfonyl)-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 138717-88-1 HCAPLUS

CN Methanesulfonamide, N-[3-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-5-isoxazolyl]-1,1,1-trifluoro-(9CI) (CA INDEX NAME)

RN 138717-89-2 HCAPLUS

CN Ethanesulfonamide, N-[3-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-5-isoxazolyl]-1,1,2,2,2-pentafluoro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O & N & N \\
NH - S - CF_2 - CF_3 & N \\
O & N & H
\end{array}$$

$$\begin{array}{c|c}
O & N & N \\
O & N & H
\end{array}$$

$$\begin{array}{c|c}
O & CH_2 & N & N \\
Bu-n & N & N & N \\
\end{array}$$

RN 138717-90-5 HCAPLUS

CN Benzamide, N-[[4'-[[3-butyl-5-[[(trifluoromethyl)sulfonyl]amino]-4-isoxazolyl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 138717-91-6 HCAPLUS

CN 5-Isoxazolecarboxylic acid, 4-[[2'-[(benzoylamino)sulfonyl][1,1'-biphenyl]-4-yl]methyl]-3-propyl- (9CI) (CA INDEX NAME)

RN 138717-92-7 HCAPLUS

=

CN Cyclopropanecarboxamide, N-[[4'-[[3-butyl-5-[[(trifluoromethyl)sulfonyl]amino]-4-isoxazolyl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 138717-93-8 HCAPLUS

CN Methanesulfonamide, N-[3-butyl-4-[[2'-[[(trifluoromethyl)sulfonyl]amino][1,1'-biphenyl]-4-yl]methyl]-5-isoxazolyl]-1,1,1-trifluoro-(9CI) (CA INDEX NAME)

RN 138717-94-9 HCAPLUS

CN Methanesulfonamide, 1,1,1-trifluoro-N-[3-propyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-5-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 138717-95-0 HCAPLUS

CN Ethanesulfonamide, 1,1,2,2,2-pentafluoro-N-[3-propyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-5-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 138717-96-1 HCAPLUS

CN Benzamide, N-[[4'-[[3-propyl-5-[[(trifluoromethyl)sulfonyl]amino]-4-isoxazolyl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 138717-97-2 HCAPLUS

CN Cyclopropanecarboxamide, N-[[4'-[[3-propyl-5-[[(trifluoromethyl)sulfonyl]a mino]-4-isoxazolyl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]- (9CI) (CA INDEX NAME)

U.S., 4 pp. Cont. of U.S. Ser. No. 18,330, abandoned. SOURCE: CODEN: USXXAM DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE US 4888327 A 19891219 US 1988-228621 19880804 <-PRIORITY APPLN. INFO.:
US 1984-659352 19841010
US 1987-18330 19870224 US 4888327 A **19891219** PIPATENT NO. KIND DATE APPLICATION NO. DATE US 4888327 A 19891219 US 1988-228621 19880804 <-- $_{
m PI}$ Antibiotic synergistic compns. for topical administration contain an AB aminoglycoside, a benzylpyrimidine, and a sulfonamide. An ophthalmic ointment was prepared consisting of tobramycin 3, trimethoprim 3, sulfonamide 60, mineral oil 150, petrolatum base 200, and chlorobutanol 5. . . antibiotic ointment ophthalmic stSulfonamides ITRL: BIOL (Biological study) (ophthalmic formulations containing aminoglycoside antibiotics and benzylpyrimidines and) IT Antibiotics (aminoglycoside, ophthalmic formulations containing sulfonamides and benzylpyrimidines and) Sulfonamides ITRL: BIOL (Biological study) (mixts., with tobramycin and trimethoprim, antibiotic synergistic ophthalmic formulations containing) Pharmaceutical dosage forms IT(solns., ophthalmic, aminoglycoside-benzylpyrimidinesulfonamide mixts. in) 127-69-5D, mixture with benzylpyrimidine derivative and tobramycin IT738-70-5D, mixts. with sulfonamides and tobramycin 32986-56-4D, mixts. with benzylpyrimidine derivs. and sulfonamides 126855-15-0 RL: BIOL (Biological study) (antibiotic synergistic ophthalmic formulation containing) IT106564-15-2D, derivs., mixts. with aminoglycoside antibiotics and sulfonamides RL: BIOL (Biological study) (ophthalmic formulations containing) IT127-69-5D, mixture with benzylpyrimidine derivative and tobramycin

126855-15-0
RL: BIOL (Biological study)

(antibiotic synergistic ophthalmic formulation containing)

RN 127-69-5 HCAPLUS

CN Benzenesulfonamide, 4-amino-N-(3,4-dimethyl-5-isoxazolyl)- (9CI) (CA INDEX NAME)

RN 126855-15-0 HCAPLUS

CN D-Streptamine, O-3-amino-3-deoxy-α-D-glucopyranosyl-(1→6)-O[2,6-diamino-2,3,6-trideoxy-α-D-ribo-hexopyranosyl-(1→4)]-2deoxy-, mixt. with 4-amino-N-(5-methyl-3-isoxazolyl)benzenesulfonamide and 5-[(3,4,5-trimethoxyphenyl)methyl]-2,4-pyrimidinediamine (9CI) (CA INDEX NAME)

CM 1

CRN 32986-56-4 CMF C18 H37 N5 O9

Absolute stereochemistry.

CM 2

CRN 738-70-5 CMF C14 H18 N4 O3

$$\begin{array}{c|c} \text{MeO} & \text{NH}_2 \\ \text{MeO} & \text{NH}_2 \\ \text{OMe} & \text{NH}_2 \\ \end{array}$$

CM 3

CRN 723-46-6

CMF C10 H11 N3 O3 S

$$\begin{array}{c|c} N & O \\ NH-S \\ \hline \\ Me \end{array}$$

L10 ANSWER 74 OF 98 HCAPLUS COPYRIGHT 2004 ACS on STN

AB A buffered solution for the local treatment of eye infections contains neoxazol 4, diethanolamine 1.5-1.7, dextran 40,000 1-2, chlorhexidine acetate or diacetate 0.001-0.01, EDTA-Na2 0.05-0.1, NaCl 0.7-0.9, methylene blue 0.0025-0.005 and water to 100%.

ACCESSION NUMBER:

1988:62494 HCAPLUS

DOCUMENT NUMBER:

108:62494

TITLE:

Neoxazol-containing ophthalmic solution

INVENTOR(S):

Ban, Petra; Chereches, Silvia; Olteanu, Mircea;

Banacu, Ioan

PATENT ASSIGNEE(S):

Intreprinderea de Medicamente "Biofarm", Rom.

SOURCE:

Rom., 2 pp. CODEN: RUXXA3

DOCUMENT TYPE:

Patent

LANGUAGE:

Romanian

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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	RO 91196	B1	19870330	RO 1985-117579	19850208 <
PRIO	RITY APPLN. INFO.	:	I	RO 1985-117579	19850208
TI Neoxazol-containing ophthalmic solution					
PI					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	RO 91196	B1	19870330	RO 1985-117579	19850208 <
${ t IT}$	127-69-5, Neoxazol				
	RL: BIOL (Biological study)				
	(ophthalmic solution containing)				
\mathtt{IT}	127-69-5, Neoxazol				
	RL: BIOL (Biological study)				
(ophthalmic solution containing)					
RN	127-69-5 HCAPLU	S			
CN	CN Benzenesulfonamide, 4-amino-N-(3,4-dimethyl-5-isoxazolyl)- (9C)				
	INDEX NAME)				

=> d his

(FILE 'HOME' ENTERED AT 15:06:56 ON 23 JUN 2004)

FILE 'REGISTRY' ENTERED AT 15:07:15 ON 23 JUN 2004

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS SAM

L3 8 S L1 SSS FULL

FILE 'HCAPLUS, USPATFULL, MEDLINE, BIOSIS, EMBASE, SCISEARCH' ENTERED AT 15:08:15 ON 23 JUN 2004

FILE 'HCAPLUS, USPATFULL' ENTERED AT 15:08:42 ON 23 JUN 2004

L4 6 S L3

L5 6 DUP REM L4 (0 DUPLICATES REMOVED)

FILE 'STNGUIDE' ENTERED AT 15:09:21 ON 23 JUN 2004

FILE 'REGISTRY' ENTERED AT 15:10:01 ON 23 JUN 2004

L6 STRUCTURE UPLOADED

L7 50 S L6 SSS SAM

L8 76640 S L6 SSS FULL

FILE 'HCAPLUS' ENTERED AT 15:10:37 ON 23 JUN 2004

L9 208 S L8 AND (GLAUCOM? OR OCULAR? OR INTRA(2A) INTRAOCULAR? OR OPHT

L10 98 S L9 AND PY<=2000

FILE 'STNGUIDE' ENTERED AT 15:12:14 ON 23 JUN 2004

FILE 'HCAPLUS' ENTERED AT 15:14:30 ON 23 JUN 2004

FILE 'STNGUIDE' ENTERED AT 15:15:23 ON 23 JUN 2004

RN 138717-98-3 HCAPLUS

CN 1H-Tetrazole, 5-[4'-[[3-butyl-5-[[(4-chlorophenyl)methyl]sulfinyl]-4-isoxazolyl]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 $S=0$
 CH_2
 N
 N
 N
 N
 N
 N
 N

RN 138717-99-4 HCAPLUS

CN Benzoic acid, 2-[[[3-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-5-isoxazolyl]thio]methyl]- (9CI) (CA INDEX NAME)

$$N = N$$
 HO_2C
 CH_2
 S
 CH_2
 $N = N$
 N

RN 138718-00-0 HCAPLUS

CN 5-Isoxazolecarboxamide, 3-butyl-N-[(1-methylethyl)sulfonyl]-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 138718-01-1 HCAPLUS

CN 5-Isoxazolecarboxamide, 3-butyl-N-(cyclopropylsulfonyl)-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 138718-02-2 HCAPLUS

CN Benzenesulfonamide, N-[3-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-5-isoxazolyl]-4-fluoro- (9CI) (CA INDEX NAME)

RN 138718-03-3 HCAPLUS

CN 3-Pyridinesulfonamide, N-[3-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-5-isoxazolyl]- (9CI) (CA INDEX NAME)

$$O = S = O$$
 NH
 NH
 $N = N$

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Antibiotic synergistic compns. for topical administration contain an aminoglycoside, a benzylpyrimidine, and a sulfonamide. An ophthalmic ointment was prepared consisting of tobramycin 3, trimethoprim 3, sulfonamide 60, mineral oil 150, petrolatum base 200, and chlorobutanol 5 mg/g.

ACCESSION NUMBER:

1990:204729 HCAPLUS

DOCUMENT NUMBER:

112:204729

TITLE:

Topical antibiotic compositions

INVENTOR(S):

Edwards, John G.

PATENT ASSIGNEE(S):

Alcon Laboratories, Inc., USA